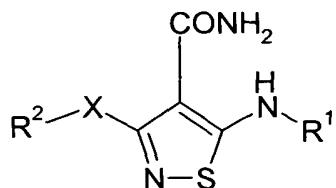


CLAIMS

1. A compound of the formula



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- 5 or a pharmaceutically acceptable salt, prodrug, solvate or hydrate thereof, wherein:

X is O or S;

- 10 R¹ is a 4-10 membered heterocyclic aromatic ring, optionally substituted with 1-4 R³ groups, said R¹ group is optionally fused to a 4-10 membered aryl or heterocyclic group, said 4-10 membered aryl or heterocyclic groups are optionally substituted by 1 to 3 R³ groups and 15 1 or 2 carbon atoms in the foregoing heterocyclic moiety are optionally substituted by an oxo (=O) moiety;

- 20 R² is H, C₁-C₁₀ alkyl, C₃-C₁₀ cycloalkyl, C₂-C₁₀ alkenyl, C₂-C₁₀ alkynyl, -(CR³R³)_t(C₆-C₁₀ aryl), or -(CH₂)_t(5-10 membered heterocyclic), wherein t is an integer from 0 to 5; said alkyl group optionally includes 1 or 2 hetero moieties selected from O, S and -N(R⁵)- with the proviso that two O atoms, two S atoms, or an O and S atom are not attached directly to each other; said cycloalkyl, aryl and heterocyclic R² groups are optionally fused to a C₆-C₁₀ aryl group, a C₅-C₈ saturated cyclic group, or a 5-10 membered heterocyclic group; 1 or 2 carbon atoms in the foregoing heterocyclic moieties are optionally substituted by an oxo (=O) moiety; the -(CH₂)_t- moieties of the foregoing R² groups optionally include a carbon-carbon double or triple bond where t is an integer from 2 to 5, and the foregoing R² groups are optionally substituted by 1 to 5 R³ groups;

- 25 each R³ is independently selected from H, C₁-C₁₀ alkyl, C₂-C₁₀ alkenyl, C₂-C₁₀ alkynyl, halo, cyano, nitro, trifluoromethyl, trifluoromethoxy, azido, -OR⁴, -C(O)R⁴, -C(O)OR⁴, -NR⁵C(O)OR⁴, -OC(O)R⁴, -NR⁵SO₂R⁴, -SO₂NR⁴R⁵, -NR⁵C(O)R⁴, -C(O)NR⁴R⁵, -NR⁴R⁵, -S(O)_jR⁴ wherein j is an integer ranging from 0 to 2, -SO₃H, -NR⁴(CR⁵R⁶)_tOR⁵, -(CH₂)_t(C₆-C₁₀ aryl), -SO₂(CH₂)_t(C₆-C₁₀ aryl), -S(CH₂)_t(C₆-C₁₀ aryl), -O(CH₂)_t(C₆-C₁₀ aryl), -(CH₂)_t(5-10 membered heterocyclic), and -(CR⁵R⁶)_mOR⁵, wherein m is an integer from 1 to 5 and t is an integer from 0 to 5; said alkyl group optionally contains 1 or 2 hetero moieties selected from O, S and -N(R⁵)- with the proviso that two O atoms, two S atoms, or an O and S atom are not attached directly to each other; said aryl and heterocyclic R³ groups are optionally fused to a C₆-C₁₀ aryl group, a C₅-C₈ saturated cyclic group, or a 5-10 membered heterocyclic group; 1 or 2 carbon atoms in the foregoing heterocyclic moieties are optionally substituted by an oxo

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- (=O) moiety; and the alkyl, aryl and heterocyclic moieties of the foregoing R³ groups are optionally substituted by 1 to 3 substituents independently selected from halo, cyano, nitro, trifluoromethyl, trifluoromethoxy, azido, -NR⁵SO₂R⁴, -SO₂NR⁴R⁵, -C(O)R⁴, -C(O)OR⁴, -OC(O)R⁴, -NR⁵C(O)R⁴, -C(O)NR⁴R⁵, -NR⁴R⁵, -(CR⁵R⁶)_mOR⁵ wherein m is an integer from 1 to 5, -OR⁴ and the substituents listed in the definition of R⁴;
- each R⁴ is independently selected from H, C₁-C₁₀ alkyl, -(CH₂)_t(C₆-C₁₀ aryl), and -(CH₂)_t(5-10 membered heterocyclic), wherein t is an integer from 0 to 5; said alkyl group optionally includes 1 or 2 hetero moieties selected from O, S and -N(R⁵)- with the proviso that two O atoms, two S atoms, or an O and S atom are not attached directly to each other; said aryl and heterocyclic R⁴ groups are optionally fused to a C₆-C₁₀ aryl group, a C₅-C₈ saturated cyclic group, or a 5-10 membered heterocyclic group; and the foregoing R⁴ substituents, except H, are optionally substituted by 1 to 3 substituents independently selected from halo, cyano, nitro, trifluoromethyl, trifluoromethoxy, azido, -C(O)R⁵, -C(O)OR⁵, -CO(O)R⁵, -NR⁵C(O)R⁶, -C(O)NR⁵R⁶, -NR⁵R⁶, hydroxy, C₁-C₆ alkyl, and C₁-C₆ alkoxy; and
- each R⁵ and R⁶ is independently H or C₁-C₆ alkyl.
2. The compound of claim 1, wherein R¹ is a 5-6 membered nitrogen containing aromatic heterocyclic ring.
3. The compound of claim 2, wherein the 5-6 membered nitrogen containing aromatic heterocyclic ring is selected from the group consisting of 3-pyrazolyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-pyrimidyl and 4-pyrimidyl.
4. The compound of claim 1, wherein R² is C₁-C₄ alkyl, -(CR³R³)_t(C₆-C₁₀ aryl), or -(CH₂)_t(5-10 membered heterocyclic).
5. The compound of claim 4, wherein C₁-C₄ alkyl is methyl, ethyl or propyl.
6. The compound of claim 5, wherein the methyl, ethyl or propyl group is substituted by a cyclohexyl group.
7. The compound of claim 5, wherein said methyl, ethyl or propyl is substituted by a -(CR³R³)_t(C₆-C₁₀ aryl) group.
8. The compound of claim 4, wherein R² is -(CR³R³)_t(C₆-C₁₀ aryl).
9. The compound of claim 8, wherein R² is -C(C₁-C₁₀ alkyl)₂(C₆-C₁₀ aryl).
10. The compound of claim 9, wherein R² is -C(H)(C₁-C₁₀ alkyl)(C₆-C₁₀ aryl).
11. The compound of claim 10, wherein R² is -C(H)(C₁-C₄ alkyl)(C₆-C₁₀ aryl).
12. The compound of claim 11, wherein R² is -C(H)(C₁-C₄ alkyl)(phenyl).
13. The compound of claim 12, wherein R² is -C(H)(methyl)(phenyl), -C(H)(ethyl)(phenyl), or -C(H)(propyl)(phenyl).
14. The compound of claim 13, wherein said phenyl moiety of R² is optionally substituted by 1 to 4 substituents independently selected from halo and C₁-C₄ alkyl.

15. The compound of claim 8, wherein said $-(CR^3R^3)_t(C_6-C_{10}$ aryl) group is benzyl optionally substituted by 1 to 4 substituents independently selected from halo and C_1-C_4 alkyl.
16. The compound of claim 1, wherein X is S and R^2 is $-(CR^3R^3)_t(C_6-C_{10}$ aryl).
17. The compound of claim 16, wherein R^2 is $-C(H)(methyl)(phenyl)$,
- 5 $-C(H)(ethyl)(phenyl)$, or $-C(H)(propyl)(phenyl)$.
18. A compound according to claim 1 selected from the group consisting of:
- 3-Cyclohexylmethoxy-5-(pyrimidin-4-ylamino)-isothiazole-4-carboxylic acid amide
- 3-Cyclohexylmethoxy-5-(pyrimidin-2-ylamino)-isothiazole-4-carboxylic acid amide
- 3-cyclohexylmethoxy-5-(pyridin-2-ylamino)-isothiazole-4-carboxylic acid amide
- 10 3-Cyclohexylmethoxy-5-(3-methyl-pyridin-2-ylamino)-isothiazole-4-carboxylic acid amide
- 3-Cyclohexylmethoxy-5-(pyridin-3-ylamino)-isothiazole-4-carboxylic acid amide
- 3-Cyclohexylmethoxy-5-(pyridin-4-ylamino)-isothiazole-4-carboxylic acid amide
- 3-Cyclohexylmethoxy-5-(1 H-pyrazol-3-ylamino)-isothiazole-4-carboxylic acid amide
- 15 5-(1H-Benzimidazol-2-ylamino)-3-cyclohexylmethoxy-isothiazole-4-carboxylic acid amide monoformate salt
- 3-(4-Chloro-benzylsulfanyl)-5-(pyridin-3-ylamino)-isothiazole-4-carboxylic acid amide
- 3-[1-(4-Chloro-phenyl)-propylsulfanyl]-5-(pyridin-3-ylamino)-isothiazole-4-carboxylic acid amide
- 20 3-[1-(4-Chloro-phenyl)-ethylsulfanyl]-5-(pyridin-3-ylamino)-isothiazole-4-carboxylic acid amide
- 3-(4-Chloro-benzylsulfanyl)-5-(pyridin-4-ylamino)-isothiazole-4-carboxylic acid amide
- 3-(2-Chloro-benzylsulfanyl)-5-(pyridin-4-ylamino)-isothiazole-4-carboxylic acid amide
- 3-(4-Chloro-benzylsulfanyl)-5-(6-methoxy-pyridin-3-ylamino)-isothiazole-4-carboxylic acid amide
- 25 3-(4-Chloro-benzylsulfanyl)-5-(pyrimidin-4-ylamino)-isothiazole-4-carboxylic acid amide
- 3-(4-Chloro-benzylsulfanyl)-5-(pyrazin-2-ylamino)-isothiazole-4-carboxylic acid amide
- 3-(2-Chloro-benzylsulfanyl)-5-(pyridin-3-ylamino)-isothiazole-4-carboxylic acid amide
- 30 3-(1-Phenyl-propylsulfanyl)-5-(pyridin-3-ylamino)-isothiazole-4-carboxylic acid amide
- 3-(4-Chloro-benzylsulfanyl)-5-(pyridin-2-ylamino)-isothiazole-4-carboxylic acid amide
- 3-(4-Chloro-benzylsulfanyl)-5-(pyrimidin-2-ylamino)-isothiazole-4-carboxylic acid amide
- 35 3-(4-Chloro-benzylsulfanyl)-5-(6-methoxy-pyridin-2-ylamino)-isothiazole-4-carboxylic acid amide

- 3-[1-(4-Chloro-phenyl)-propylsulfanyl]-5-(5-methyl-pyridin-2-ylamino)-isothiazole-4-carboxylic acid amide
- 3-[1-(4-Chloro-phenyl)-propylsulfanyl]-5-(6-methyl-pyridin-2-ylamino)-isothiazole-4-carboxylic acid amide
- 5 3-[1-(4-Chloro-phenyl)-propylsulfanyl]-5-(3-methyl-pyridin-2-ylamino)-isothiazole-4-carboxylic acid amide
- 3-[1-(4-Chloro-phenyl)-propylsulfanyl]-5-(6-methyl-pyridin-3-ylamino)-isothiazole-4-carboxylic acid amide
- and the pharmaceutically acceptable salts, prodrugs and solvates of said compounds.
- 10 19. A pharmaceutical composition for the treatment of a hyperproliferative disorder in a mammal which comprises a therapeutically effective amount of a compound according to claim 1 and a pharmaceutically acceptable carrier.
- 15 20. The pharmaceutical composition of claim 19 wherein said hyperproliferative disorder is a cancer selected from brain, melanoma, lung, squamous cell, bladder, gastric, pancreatic, breast, head, neck, renal, kidney, ovarian, prostate, colorectal, oesophageal, gynecological and thyroid cancer.
- 20 21. The pharmaceutical composition of claim 20 wherein said disorder is a non-cancerous hyperproliferative disorder
- 25 22. The pharmaceutical composition of claim 21 wherein said disorder is a benign hyperplasia of the skin or prostate.
23. A method of treating a hyperproliferative disorder in a mammal which comprises administering to said mammal a therapeutically effective amount of a compound according to claim 1.
24. The method of claim 23 wherein said method is for the treatment of a cancer selected from brain, melanoma, squamous cell, bladder, gastric, pancreatic, breast, head, neck, oesophageal, prostate, colorectal, lung, renal, kidney, ovarian, gynecological and thyroid cancer.
25. The method of claim 23 wherein said method is for the treatment of a non-cancerous hyperproliferative disorder.
- 30 26. The method of claim 25 wherein said method is for the treatment of a benign hyperplasia of the skin or prostate.
27. A method for the treatment of a hyperproliferative disorder in a mammal which comprises administering to said mammal a therapeutically effective amount of a compound according to claim 1 in combination with an anti-tumor agent selected from the group consisting of mitotic inhibitors, alkylating agents, anti-metabolites, intercalating antibiotics, growth factor inhibitors, cell cycle inhibitors, enzymes, topoisomerase inhibitors, biological response

modifiers, anti-hormones, NK1 receptor antagonist, 5-HT₃ receptor antagonist, COX-2 inhibitor, an EGFR inhibitor, and anti-androgens.

28. A method of treating pain in a mammal which comprises administering to said mammal a therapeutically effective amount of a compound according to claim 1.

5 29. A method of treating obesity in a mammal which comprises administering to said mammal a therapeutically effective amount of a compound according to claim 1.

30. A method of treating neuropathy in a mammal which comprises administering to said mammal a therapeutically effective amount of a compound according to claim 1.